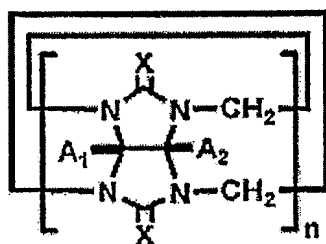


CLAIMS

1. Nanoparticles prepared by the aggregation of cucurbituril derivatives of Formula 1 below and having a particle size of 1 to 1,000 nm:



(1)

wherein X is O, S, or NH;

A₁ and A₂ are respectively OR¹ and OR², SR¹ and SR², or NHR¹ and NHR²;

R¹ and R² are each independently selected from the group consisting of hydrogen, a substituted or unsubstituted alkyl of C₁-C₃₀, a substituted or unsubstituted alkenyl of C₂-C₃₀, a substituted or unsubstituted alkynyl of C₂-C₃₀, a substituted or unsubstituted carbonylalkyl of C₂-C₃₀, a substituted or unsubstituted thioalkyl of C₁-C₃₀, a substituted or unsubstituted alkylthiol of C₁-C₃₀, a substituted or unsubstituted alkoxy of C₁-C₃₀, a substituted or unsubstituted hydroxyalkyl of C₁-C₃₀, a substituted or unsubstituted alkylsilyl of C₁-C₃₀, a substituted or unsubstituted aminoalkyl of C₁-C₃₀, a substituted or unsubstituted aminoalkylthioalkyl of C₁-C₃₀, a substituted or unsubstituted cycloalkyl of C₅-C₃₀, a substituted or unsubstituted heterocycloalkyl of C₂-C₃₀, a substituted or unsubstituted aryl of C₆-C₃₀, a substituted or unsubstituted arylalkyl of C₆-C₂₀, a substituted or unsubstituted heteroaryl of C₄-C₃₀, and a substituted or unsubstituted heteroarylalkyl of C₄-C₂₀; and

n is an integer of 4 to 20.

2. The nanoparticles of claim 1 prepared by the aggregation of a biodegradable polymer in addition to the cucurbituril derivatives.

3. The nanoparticles of claim 2, wherein the biodegradable polymer is poly(lactide-co-glycolide) (PLGA), polyethyleneglycol (PEG), poly(alkylcyanoacrylate), poly-ε-caprolactone, cellulose derivative, albumin, gelatin, alginate, or a mixture

thereof.

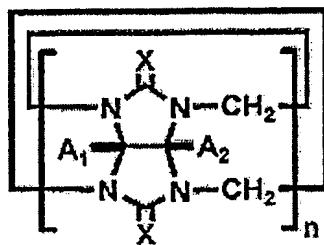
4. A pharmaceutical composition in which a pharmaceutically active substance as a guest molecule is loaded into the nanoparticles of any one of claims 1 through 3.

5. The pharmaceutical composition of claim 4, wherein the pharmaceutically active substance is an organic compound, a protein, or a gene.

6. The pharmaceutical composition of claim 5, wherein the organic compound is hydrocortisone, prednisolone, spironolactone, testosterone, megestrol acetate, danasole, progesterone, indomethacin, amphotericin B, or a mixture thereof.

7. The pharmaceutical composition of claim 5, wherein the protein is human growth hormone, G-CSF (granulocyte colony-stimulating factor), GM-CSF (granulocyte-macrophage colony-stimulating factor), erythropoietin, vaccine, antibody, insulin, glucagon, calcitonin, ACTH (adrenocorticotrophic hormone), somatostatin, somatotropin, somatomedin, parathyroid hormone, thyroid hormone, hypothalamus secretion, prolactin, endorphin, VEGF (vascular endothelial growth factor), enkephalin, vasopressin, nerve growth factor, non-naturally occurring opioid, interferon, asparaginase, alginase, superoxide dismutase, trypsin, chymotrypsin, pepsin, or a mixture thereof.

8. A method of preparing the nanoparticles of claim 1, which comprises:
dissolving a cucurbituril derivative of Formula 1 below in an organic solvent to obtain a reaction solution;
adding water to the reaction solution followed by dispersing;
distilling the dispersed solution in a temperature range from a boiling point of the organic solvent to 100°C to remove the organic solvent; and
cooling the resultant solution to room temperature:



(1)

wherein X is O, S, or NH;

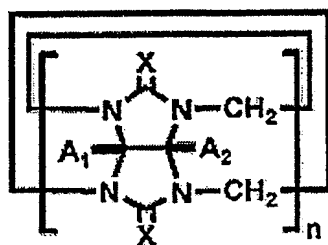
A₁ and A₂ are respectively OR¹ and OR², SR¹ and SR², or NHR¹ and NHR²;

R¹ and R² are each independently selected from the group consisting of
 5 hydrogen, a substituted or unsubstituted alkyl of C₁-C₃₀, a substituted or unsubstituted
 alkenyl of C₂-C₃₀, a substituted or unsubstituted alkynyl of C₂-C₃₀, a substituted or
 unsubstituted carbonylalkyl of C₂-C₃₀, a substituted or unsubstituted thioalkyl of C₁-C₃₀,
 a substituted or unsubstituted alkylthiol of C₁-C₃₀, a substituted or unsubstituted alkoxy
 of C₁-C₃₀, a substituted or unsubstituted hydroxyalkyl of C₁-C₃₀, a substituted or
 10 unsubstituted alkylsilyl of C₁-C₃₀, a substituted or unsubstituted aminoalkyl of C₁-C₃₀, a
 substituted or unsubstituted aminoalkylthioalkyl of C₁-C₃₀, a substituted or unsubstituted
 cycloalkyl of C₅-C₃₀, a substituted or unsubstituted heterocycloalkyl of C₂-C₃₀, a
 substituted or unsubstituted aryl of C₆-C₃₀, a substituted or unsubstituted arylalkyl of
 C₆-C₂₀, a substituted or unsubstituted heteroaryl of C₄-C₃₀, and a substituted or
 15 unsubstituted heteroarylalkyl of C₄-C₂₀; and

n is an integer of 4 to 20.

9. A method of preparing the pharmaceutical composition of claim 4, which
 comprises:

- 20 dissolving a cucurbituril derivative of Formula 1 below and the pharmaceutically
 active substance in an organic solvent to obtain a reaction solution;
 adding water to the reaction solution followed by dispersing;
 distilling the dispersed solution in a temperature range from a boiling point of the
 organic solvent to 100 °C to remove the organic solvent; and
 25 cooling the resultant solution to room temperature:



(1)

wherein X is O, S, or NH;

A₁ and A₂ are respectively OR¹ and OR², SR¹ and SR², or NHR¹ and NHR²;

R¹ and R² are each independently selected from the group consisting of
 5 hydrogen, a substituted or unsubstituted alkyl of C₁-C₃₀, a substituted or unsubstituted
 alkenyl of C₂-C₃₀, a substituted or unsubstituted alkynyl of C₂-C₃₀, a substituted or
 unsubstituted carbonylalkyl of C₂-C₃₀, a substituted or unsubstituted thioalkyl of C₁-C₃₀,
 a substituted or unsubstituted alkylthiol of C₁-C₃₀, a substituted or unsubstituted alkoxy
 of C₁-C₃₀, a substituted or unsubstituted hydroxyalkyl of C₁-C₃₀, a substituted or
 10 unsubstituted alkylsilyl of C₁-C₃₀, a substituted or unsubstituted aminoalkyl of C₁-C₃₀, a
 substituted or unsubstituted aminoalkylthioalkyl of C₁-C₃₀, a substituted or unsubstituted
 cycloalkyl of C₅-C₃₀, a substituted or unsubstituted heterocycloalkyl of C₂-C₃₀, a
 substituted or unsubstituted aryl of C₆-C₃₀, a substituted or unsubstituted arylalkyl of
 C₆-C₂₀, a substituted or unsubstituted heteroaryl of C₄-C₃₀, and a substituted or
 15 unsubstituted heteroarylalkyl of C₄-C₂₀; and

n is an integer of 4 to 20.

10. The method of claim 8 or 9, wherein in dissolving the cucurbituril
 derivative in the organic solvent to obtain the reaction solution, a biodegradable
 20 polymer is further dissolved in the organic solvent.

11. The method of claim 8 or 9, wherein the organic solvent is chloroform,
 dimethylsulfoxide, dichloromethane, dimethylformamide, tetrahydrofuran, or a mixture
 thereof.

25

12. The method of claim 8 or 9, wherein the dispersing is carried out by
 sonication with a sonicator.